



To: ASTRAZENECA Global Intellectual Property P O Box 272 Mereside, Alderley Park Macclesfield, Cheshire SK10 4GR UNITED KINGDOM	NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT OR THE DECLARATION (PCT Rule 44.1)
	Date of mailing (day/month/year) 05/04/2004
Applicant's or agent's file reference	
100855-1 WO	FOR FURTHER ACTION See paragraphs 1 and 4 below
International application No.	International filing date
PCT/GB 03/05498	(day/month/year) 15/12/2003
Applicant	
ASTRAZENECA AB	
The applicant is hereby notified that the International Search	b Decert has been established and is transmitted belowith
Filing of amendments and statement under Article 19: The applicant is entitled, if he so wishes, to amend the claim	
When? The time limit for filing such amendments is normal international Search Report; however, for more de	
Where? Directly to the International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Fascimile No.: (41~22) 740.14.35	5
For more detailed instructions, see the notes on the acco	mpanying sheet.
2. The applicant is hereby notified that no International Search Article 17(2)(a) to that effect is transmitted herewith.	n Report will be established and that the declaration under
3. With regard to the protest against payment of (an) addition	
the protest together with the decision thereon has been applicant's request to forward the texts of both the professional states and the second states are second so that the professional states are second so that the professional states are second so that the second so that the second states are second so that the second so that the second states are second so that the second states are second so that the second states are second so that the second so that the second states are second so that the second states are second so that the second states are second so that the second so that the second states are second so that the second states are second so that the seco	n transmitted to the International Bureau together with the test and the decision thereon to the designated Offices.
no decision has been made yet on the protest; the app	viicant will be notified as soon as a decision is made.
4. Further action(s): The applicant is reminded of the following:	
Shortly after 18 months from the priority date, the International ap if the applicant wishes to avoid or postpone publication, a notice priority claim, must reach the International Bureau as provided in completion of the technical preparations for International publica	of withdrawal of the international application, or of the in Rules 90 <i>bls.</i> 1 and 90 <i>bls.</i> 3, respectively, before the
Within 19 months from the priority date, a demand for international wishes to postpone the entry into the national phase until 30 mo	al preliminary examination must be filed if the applicant nths from the priority date (in some Offices even later).
Within 20 months from the priority date, the applicant must perfor before all designated Offices which have not been elected in the priority date or could not be elected because they are not bound	e demand or in a later election within 19 months from the
Name and mailing address of the International Searching Authority	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Chantal Meyer

### **NOTES TO FORM PCT/ISA/220**

These Notes are intended to give the second instructions concerning the filing of amendments under the 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions respectively.

#### INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international politication. Furthermore, it should be emphasized that provisional protection is available in some States only.

### What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

### When?

Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 46.1).

### Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been its filed, see below.

### How?

Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the isnguage in which the international application is to be published.

### What documents must/may accompany the amendments?

Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

### NOTES TO FORM PCT/ISA/220 (continued)





The letter must indicate the differences between the claims as filed and the claims as amended, it must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped), whather

- (i) the claim is unchanged;
- (ii) the claim is cancelled;
- (iii) the claim is new:
- (iv) the claim replaces one or more claims as filed;
- (v) the claim is the result of the division of a claim as filed.

## The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

- [Where originally there were 48 claims and after amendment of some claims there are 51]:
   "Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."
- [Where originally there were 15 claims and after amendment of all claims there are 11]:
   "Claims 1 to 15 replaced by amended claims 1 to 11."
- [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:
   "Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or
- "Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."

  4. [Where various kinds of amendments are made]:

# <sup>5</sup>Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added.\*

### "Statement under article 19(1)" (Rule 48.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

it must be in the language in which the international appplication is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

### Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the same time of filing the amendments with the International Bureau, also file a copy of such amendments with the International Preliminary Examining Authority (see Rule 62.2(a), first sentence).

### Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, where upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.



## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	FOR FURTHER see Notification of	of Transmittal of International Search Report 20) as well as, where applicable, item 5 below.
100855-1 WO	ACTION	20) 40 Well 40, Where applicable, Rem 9 20.00.
International application No.	International filing date (day/month/year)	(Earliest) Priority Date (day/month/year)
PCT/GB 03/05498	15/12/2003	19/12/2002
Applicant		
ASTRAZENECA AB		
This International Search Report has been according to Article 18. A copy is being tra	n prepared by this international Searching Auth ansmitted to the international Bureau.	nority and is transmitted to the applicant
This International Search Report consists  X It is also accompanied by	of a total of 9 sheets. a copy of each prior art document cited in this	report.
Basis of the report		
a. With regard to the language, the	international search was carried out on the bas ess otherwise indicated under this Item.	sis of the international application in the
the international search w Authority (Rule 23.1(b)).	as carried out on the basis of a translation of the	ne international application furnished to this
b. With regard to any nucleotide an was carried out on the basis of the		ternational application, the international search
	nal application in written form.	
filed together with the inte	mational application in computer readable form	n.
furnished subsequently to	this Authority in written form.	
furnished subsequently to	this Authority in computer readble form.	
	sequently furnished written sequence listing do s filed has been furnished.	bes not go beyond the disclosure in the
the statement that the info furnished	rmation recorded in computer readable form is	identical to the written sequence listing has been
2. X Certain claims were four	nd unsearchable (See Box I).	
3. Unity of invention is lack	king (see Box II).	*
4. With regard to the title,		
the text is approved as su	bmitted by the applicant.	
X the text has been establish	ned by this Authority to read as follows:	
OXAZOLIDINONE DERIVATI	VES AS ANTIBACTERIAL AGENTS	
5. With regard to the abstract,		
	omitted by the applicant. ned, according to Rule 38.2(b), by this Authorit date of mailing of this international search repi	
6. The figure of the drawings to be publi	shed with the abstract is Figure No.	444
as suggested by the applic	cant.	None of the figures.
because the applicant faile	ed to suggest a figure.	
because this figure better	characterizes the invention.	

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D413/14 C02D413/10

257:00,213:00)

A61K31/44

//(C07D413/14 3:00,

Patent family members are listed in annex.

According to International Patent Classification (IPC) or to both national classification and IPC

### B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

CO7D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included. In the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, EMBASE, BIOSIS, PAJ, WPI Data, CHEM ABS Data

C. DOCUM	ENTS CONSIDERED TO BE RELEVANT	
Category *	Citation of document, with Indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 03 022824 A (SWAIN MICHAEL LINGARD; ASTRAZENECA UK LTD (GB); MILLS STUART DENNE) 20 March 2003 (2003-03-20) example 60	1,2, 8-11, 13-15
Y	WO 01 94342 A (DONG A PHARM CO LTD ;CHO JONG HWAN (KR); CHOI SUNG HAK (KR); LEE J) 13 December 2001 (2001-12-13) the whole document	1-11, 13-16
Y	WO 00 29396 A (RADDATZ SIEGFRIED ;BARTEL STEPHAN (DE); BAYER AG (DE); HAERTER MIC) 25 May 2000 (2000-05-25) the whole document	1-11, 13-16
i	<b>-/-</b> -	

Special categories of cited documents:  A' document defining the general state of the art which is not considered to be of particular relevance  E' earlier document but published on or after the international filling date  L' document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)  O' document referring to an oral disclosure, use, exhibition or other means  P' document published prior to the international filling date but later than the priority date claimed	<ul> <li>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</li> <li>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</li> <li>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</li> <li>"&amp;" document member of the same patent family</li> </ul>
Date of the actual completion of the International search	Date of mailing of the international search report
25 March 2004	05/04/2004
Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentiaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Frelon, D

Further documents are listed in the continuation of box C.

Category °	Citation of document, with ind where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 99 33839 A (CHEIL JEDANG CORP ;KANG JIN AH (KR); LEE KOUN HO (KR); LEE YOUN HA) 8 July 1999 (1999-07-08) the whole document	1-11, 13-16
Y	WO 98 54161 A (HESTER JACKSON B JR ;NIDY ELDON GEORGE (US); PERRICONE SALVATORE C) 3 December 1998 (1998-12-03) cited in the application the whole document	1-11, 13-16
Υ .	WO 93 09103 A (UPJOHN CO) 13 May 1993 (1993-05-13) examples 1,8,11,18,21,24,27,30	1-11, 13-16
Y	EP 0 352 781 A (DU PONT) 31 January 1990 (1990-01-31) examples 38,105,107,135	1-11, 13-16
Y	US 5 565 571 A (BARBACHYN MICHAEL R ET AL) 15 October 1996 (1996-10-15) abstract; claims	1-11, 13-16
A	WO 99 03846 A (RADDATZ SIEGFRIED ;RIEDL BERND (DE); BARTEL STEPHAN (DE); BAYER AG) 28 January 1999 (1999-01-28) abstract; claims	1-11, 13-16
A	WO 97 21708 A (UPJOHN CO ;TUCKER JOHN A (US); BRICKNER STEVEN JOSEPH (US); ULANOW) 19 June 1997 (1997-06-19) abstract; claims	1-11, 13-16
A .	CHUNG-HO PARK ET AL: "ANTIBACTERIALS. SYNTHESIS AND STRUCTURE-ACTIVITY STUDIES OF 3-ARYL-2-OXOOXAZOLIDINES. 4 MULTIPLY-SUBSTITUTED ARYL DERIVATIVES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 35, no. 6, 20 March 1992 (1992-03-20), pages 1156-1165, XP000567006 ISSN: 0022-2623 page 1159, summary	1-11, 13-16
	-/	
}		

Category °	citation of document, with indexes, where appropriate, of the relevant passages	Relevant to claim No.
Jakogory	where appropriate, or the relevant passages	riesevani to claim No.
	PAE A N ET AL: "Synthesis and in vitro activity of new oxazolidinone antibacterial agents having substituted isoxazoles" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 18,	1-11, 13-16
	20 September 1999 (1999-09-20), pages 2679-2684, XP004179951 ISSN: 0960-894X the whole document	

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Expressions "in vivo hydrolysable ester", "prodrug" do not bring more specification to the claimed domain and appear, rather, to claim desirable properties or results to be obtained which are not achieved yet at the filing time. They encompass a great, non-limitative variety of compounds which differ from the claimed active compounds, either in the side chain(s) or in the core structure.

Present claims 1-11, 13 to 16 relate to an extremely large number of possible compounds and processes. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds and processes claimed (in fact, two examples). In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds including the definitions specified in claims 4 and 8, as they appear to be illustrated, and analogous thereof (it is noted the wording of claim 4 -contrarily to the one of claim 8- is not limiting as such since the given specifications for HET-3 do not exclude other definitions of R4 like does the specification of group C to be E which excludes group D).

Apparently the definition of R24 has been drafted to exclude the vakue CH3 without using the formulation of a proviso. This results in unclear redundances of the definition of R24.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

PCT/GB 03/05498

## INTERNATIONAL SEARCH REPORT

Box i	Observations where cer laims were found unsearchable (Continuation m 1 of first sheet)
This inte	ernational Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. X	Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
	Although claim 12 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. X	Claims Nos.:  because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
	see FURTHER INFORMATION sheet PCT/ISA/210
з. 🔲	Claims Nos.; because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box II	Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)
This Inte	ernational Searching Authority found multiple inventions in this international application, as follows:
1.	As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.	As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.	As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.	No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:
Remark (	on Protest
	No protest accompanied the payment of additional search fees.

		pare		} (	PCT/GB	03/05498	
Patent document cited in search report		Publication date		Patent family member(s)		Publication date	
WO 03022824	Α	20-03-2003	WO	03022824	A1	20-03-2003	
WO 0194342	A	13-12-2001	KR AU BR	2002071576 5889701 0111280	A	13-09-2002 17-12-2001 10-06-2003	
			CA	2411859		13-12-2001	
			CN	1433413	T	30-07-2003	
			EP	1289984		12-03-2003	
			HU JP	0301562 2003535860	AZ T	29-12-2003 02-12-2003	
			MO.	0194342		13-12-2001	
			NZ	522990	Α	29-08-2003	į
			US 	2003166620	A1	04-09-2003	
WO 0029396	Α	25-05-2000	AU	1379900	•	05-06-2000	
			WO	0029396 	A1	25-05-2000	
WO 9933839	Α	08-07-1999	AU	1694099		19-07-1999	
			MO	9933839		08-07-1999	
			ZA 	9811851 	A 	14-07-1999	
WO 9854161	A	03-12-1998	AU AU	737995 7488398		06-09-2001 30-12-1998	
			BR	9815518		21-11-2000	
			CA	2288750		03-12-1998	1
			CN	1138765		18-02-2004	
			EP	0984947		15-03-2000	
			FI HU	992555 0001393		30-11-1999 28-06 <b>-</b> 2001	
			JP	2002501530	T	15-01-2002	
			NO	995846		28-01-2000	ĺ
			NZ	501412		30-11-2001	l
			PL RU	337329 2208613	A1	14-08-2000 20-07-2003	
			SK	156499		12-06-2000	
			US	6218413		17-04-2001	
			MO	9854161		03-12-1998	1
			US US	6255304		03-07-2001	l
			US	6362189 6342513		26-03-2002 29-01-2002	1
			ÜS	2001041728		15-11-2001	ķ
			US	2002016323	A1	07-02-2002	1
WO 9309103	A	13-05-1993	AT	146783	T	15-01-1997	
			AU	667198		14-03-1996	1
			AU CA	2689892		07-06-1993	
			DE	2119556 69216251		13-05-1993 06-02-1997	ĺ
			DE	69216251		15-05-1997	-
			DK	610265	T3	09-06-1997	
			ΕP	0610265		17-08-1994	1
			GR JP	3022340 7500603		30-04 <b>-</b> 1997	
			JP	3176626		19-01-1995 18-06-2001	1
			KR	257418		15-05-2000	
			WO	9309103		13-05-1993	

KR WO

US

US

9309103 A1

5565571 A

5801246 A

13-05-1993 15-10-1996 01-09-1998

PCT/	'GB	03/	05498
------	-----	-----	-------

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 9309103	A		US US US US	5654428 5756732 5654435 5929248	A A	05-08-1997 26-05-1998 05-08-1997 27-07-1999
EP 0352781	A	31-01-1990	US AU	4948801 622465	A B2	14-08-1990 09-04-1992
			AU Ca DK	3911589 1337526 374389	C A	01-02-1990 07-11-1995 30-01-1990
			EP FI HU	0352781 893618 58062	Α	31-01-1990 30-01-1990 28-01-1992
			IE JP JP	892438 2124877 2899319	Α	29-01-1990 14-05-1990 02-06-1999
			NO NZ PT	893076 230108 91315	Α	30-01-1990 25-10-1991 08-02-1990
			US US US	5130316 5043443 5254577	Α	14-07-1992 27-08-1991 19-10-1993
US 5565571		15-10-1996	ZA US	8905778 5801246	A	27-03-1991  01-09-1998
03 55055/1	A	15-10-1996	US US	5654428 5756732	A A	05-08-1997 26-05-1998
			US US AT	5654435 5929248 146783	A T	05-08-1997 27-07-1999 15-01-1997
			AU CA	667198 2689892 2119556	A A1	14-03-1996 07-06-1993 13-05-1993
			DE DE DK	69216251 69216251 610265	T2 T3	06-02-1997 15-05-1997 09-06-1997
			EP GR JP	0610265 3022340 7500603	T3 . T	17-08-1994 30-04-1997 19-01-1995
			JP KR WO	3176626 257 <b>41</b> 8 9309103	B1	18-06-2001 15-05-2000 13-05-1993
WO 9903846	Α	28-01-1999	DE AU	19730847 8441798	A	28-01-1999 10-02-1999
			WO ZA	9903846 9806360		28-01-1999 27-01-1999 
WO 9721708	Α	19-06-1997	AT AU AU CA	232530 703784 1407797 2236677	B2 A	15-02-2003 01-04-1999 03-07-1997 19-06-1997
			DE DE DK	69626218 69626218 868424	D1 T2	20-03-2003 14-08-2003 19-05-2003
			EP ES JP	0868424 2192235 2000501731	A1 T3	07-10-1998 01-10-2003 15-02-2000

[	PCT/GB (	03/05498	
tent family ember(s)		Publication date	

Patent document cited in search report		Publication date		Patent family member(s)	Publication date
WO 9721708	A		PT	868424 T	30-06-2003
			SI	868424 T1	31-08-2003
			TW	403749 B	01-09-2000
			WO	9721708 A1	19-06-1997
			บร	5719154 A	17-02-1998
			ZA	9609622 A	15-05-1998